Application No.: 10/615,809 Attorney Docket No. A-817 (US)

AMENDMENTS TO THE CLAIMS

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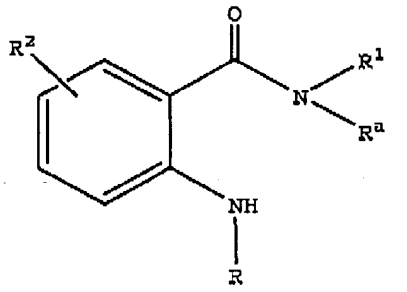
This listing of claims replaces all previous listings

WHAT IS CLAIMED IS:

1. (Currently Amended) A compound of Formula I



I

wherein R is ~~selected from~~

~~a) unsubstituted or substituted 9- or 10-membered fused heterocyclyl,~~

~~wherein R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{1-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl, and~~

~~b)~~ $-(CH_2)_{1-3}-R^3$;

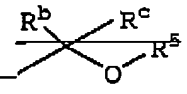
wherein R^1 is ~~selected from unsubstituted or substituted~~

~~a) 5-6 membered saturated or partially saturated heterocyclyl,~~

~~b) 9-10 membered bicyclic and 13-14 membered tricyclic saturated or partially saturated heterocyclyl, and~~

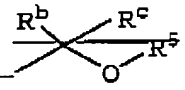
~~c) phenyl~~;

~~wherein substituted R^1 is heterocyclyl substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_2-C_4-alkylenyl, C_{1-6}-haloalkoxy,~~

~~optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6-membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl C_{1-4}-alkylcarbonyl, C_{3-8} haloalkyl, C_{3-6} aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-8}-alkylsulfonyl, halosulfonyl, C_{3-6}-alkylcarbonyl, C_{1-3}-alkylamino C_{1-3} alkyl, C_{1-3}-alkylamino C_{1-3}-alkoxy, C_{1-3}-alkylamino C_{1-3}-alkoxy C_{2-3} alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino C_{2-4} alkyl, C_{1-4} hydroxyalkyl,~~  ~~and C_{1-4} alkoxy,~~

~~wherein substituted R^5 is phenyl substituted with a substituent selected from optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, and optionally substituted 4-6-membered heterocyclyl-C_{1-4}-alkylcarbonyl,~~

~~and optionally substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered~~

~~heterocyclyl C₂-C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C₁₋₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₂₋₄-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino C₁₋₃-alkyl, C₁₋₃-alkylamino C₁₋₃-alkoxy, C₁₋₃-alkylamino C₁₋₃-alkoxy C₁₋₃-alkoxy, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino C₁₋₄-alkyl, C₂₋₄-hydroxyalkyl,~~  ~~and C₂₋₄-alkoxy;~~

selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolinyl, and 1,4-benzodioxanyl; wherein R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-

ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof

;

wherein R^2 is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-2}-alkylamino,

aminosulfonyl,

C_{3-6}-cycloalkyl,

cyano,

C_{1-2}-hydroxyalkyl,

nitro,

C_{2-3}-alkenyl,

C_{2-3}-alkynyl,

C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
4-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^3 is ~~independently selected from substituted or unsubstituted aryl,~~ substituted or unsubstituted 5-6 membered heterocyclyl~~, and substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl~~; wherein substituted R^3 is substituted with one or more substituents independently selected from halo, $-OR^4$, $-SR^4$, $-SO_2R^4$, $-CO_2R^4$, $-CONR^4R^4$, $-COR^4$, $-NR^4R^4$, $-SO_2NR^4R^4$, $-NR^4C(O)OR^4$, $-NR^4C(O)R^4$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^4 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6 cycloalkyl, phenyl-C_{1-6}-alkyl, optionally substituted 4-6 membered heterocyclyl-C_{1-6}-alkyl, and lower haloalkyl;

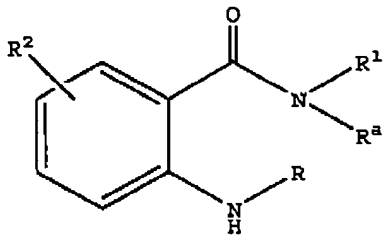
wherein R^5 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-3}-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

wherein R^a is selected from H and C_{1-2}-alkyl; and

wherein R^b and R^c are independently selected from H and C_{1-2}-haloalkyl;

and pharmaceutically acceptable derivatives thereof.

2. (Currently Amended) A compound of Formula I'



I'

wherein R is ~~selected from~~

~~a) unsubstituted 9- or 10-membered fused heterocyclyl and 9- or 10-membered fused heterocyclyl substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6} alkylamino C_{2-4} alkynyl, C_{1-6} alkylamino C_{1-6} alkoxy, C_{1-6} alkylamino C_{1-6} alkoxy C_{1-6} alkoxy, and optionally substituted heterocyclyl C_{2-4} alkynyl,~~

~~b)~~ $-(CH_2)_{1-2}-R^3$, ~~and~~

~~c) $-(CHCH_3)-R^3$;~~

wherein R^1 is ~~selected from unsubstituted or substituted~~

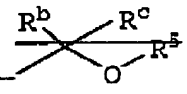
~~a) 5-6 membered saturated or partially saturated heterocyclyl,~~

~~b) 9-10 membered bicyclic and 11-14 membered tricyclic saturated or partially saturated heterocyclyl, and~~

~~c) phenyl~~;

~~wherein substituted R^1 is heterocyclyl substituted with one or more substituents selected from halo, C_{1-6} alkyl, optionally substituted C_{3-6} cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_2-C_4 alkylenyl, C_{1-4} haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4 alkyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4 alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4} alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered~~

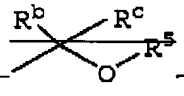
heterocyclyl C_{2-4}-alkylcarbonyl, C_{1-3}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-3}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,



and C_{2-4}-alkoxy;

wherein substituted R^5 is phenyl substituted with a substituent selected from optionally substituted 4-6 membered heterocyclyl C_1-C_3-alkyl, optionally substituted 4-6 membered heterocyclyl C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, halo, C_1-C_4-alkyl and optionally substituted 4-6 membered heterocyclyl C_{2-4}-alkylcarbonyl,

and the phenyl ring is optionally further substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl C_1-C_4-alkylenyl, C_{1-3}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl C_{2-4}-alkylcarbonyl, C_{1-3}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino,

~~hydroxy, cyano, aminosulfonyl, C_{1-3} alkylsulfonyl, halosulfonyl, C_{1-4} alkylcarbonyl, C_{1-3} alkylamino C_{1-3} alkyl, C_{1-6} alkylamino C_{1-3} alkoxy, C_{1-3} alkylamino C_{1-3} alkoxy C_{1-3} alkoxy, C_{1-4} alkoxycarbonyl, C_{1-4} alkoxycarbonylamino C_{1-4} alkyl, C_{1-4} hydroxyalkyl,~~  ~~and C_{1-4} alkoxy,~~

~~wherein R^2 is one or more substituents independently selected from H, halo, hydroxy, amino, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-5} alkoxy, C_{1-2} alkylamino, aminosulfonyl, C_{3-6} cycloalkyl, cyano, C_{1-3} hydroxyalkyl, nitro, C_{2-3} alkenyl, C_{2-4} alkynyl, C_{1-6} haloalkoxy, C_{3-6} carboxyalkyl, 4-6 membered heterocyclyl C_{1-6} alkylamino, unsubstituted or substituted phenyl and unsubstituted or substituted 4-6 membered heterocyclyl~~

selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolinyl, and 1,4-benzodioxanyl;

wherein R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl,

piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

;

wherein R^2 is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-2}-alkylamino,

aminosulfonyl,

C_{3-6}-cycloalkyl,

cyano,

C_{1-2}-hydroxyalkyl,

nitro,

C_{2-3}-alkenyl,

C_{2-3}-alkynyl,

C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

4-6-membered heterocyclyl-C_{1-6}-alkylamino,

unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^3 is ~~independently selected from substituted or unsubstituted aryl,~~ substituted or unsubstituted 5-6 membered heterocyclyl~~, and substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl~~; wherein substituted R^3 is substituted with one or more substituents independently selected from halo, $-OR^4$, $-SR^4$, $-SO_2R^4$, $-CO_2R^4$, $-CONR^4R^4$, $-COR^4$, $-NR^4R^5$, $-SO_2NR^4R^4$, $-NR^4C(O)OR^4$, $-NR^4C(O)R^4$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^6, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^4 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6 cycloalkyl, phenyl-C_{1-6}-alkyl, optionally substituted 4-6 membered heterocyclyl-C_{1-6}-alkyl, and lower haloalkyl;

wherein R^5 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

wherein R^6 is selected from H, halo, hydroxy, amino, C_{1-6}-alkoxy, C_{1-2}-alkylamino, aminosulfonyl, C_{3-6}-cycloalkyl, cyano, nitro, C_{1-6}-haloalkoxy, carboxy, 4-6-membered heterocyclyl-C_{1-6}-alkylamino, unsubstituted or substituted phenyl and unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^a is selected from H and C_{1-2}-alkyl; and

wherein R^b and R^c are independently selected from H and C_{1-2}-haloalkyl;

and pharmaceutically acceptable derivatives thereof;

provided R^3 is not ~~aryl or~~ heteroaryl when R^1 is unsubstituted phenyl or phenyl substituted with halo, or C_{1-6}-alkyl and when R^2 is H.

3. (Original) Compound of Claim 2 wherein R^1 is selected from unsubstituted or substituted 9-10 membered bicyclic saturated or

partially saturated heterocyclyl; and wherein R^a is H; and pharmaceutically acceptable derivatives thereof.

4. (Cancelled Herein) ~~Compound of Claim 3 wherein R^* is selected from 1,2 dihydroquinolyl, 1,2,3,4 tetrahydroquinolyl, 1,2,3,4 tetrahydroisoquinolyl, 2,3 dihydro 1H indolyl, tetrahydroquinolinyl, and 1,4 benzodioxanyl; wherein R^* is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc aminoethyl, hydroxy, oxo, aminosulfonyl, 4 methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1 methylpiperazin 4 ylmethyl, 1 methylpiperazin 4 ylpropyl, morpholinylpropyl, piperidin 1 ylmethyl, 1 methylpiperidin 4 ylmethyl, 2 methyl 2 (1 methylpiperidin 4 yl)ethyl, morpholinylethyl, 1 (4 morpholinyl) 2,2 dimethylpropyl, piperidin 4 ylethyl, 1 Boc piperidin 4 ylethyl, piperidin 1 ylethyl, 1 Boc piperidin 4 ylethyl, piperidin 4 ylmethyl, 1 Boc piperidin 4 ylmethyl, piperidin 4 ylpropyl, 1 Boc piperidin 4 ylpropyl, piperidin 1 ylpropyl, pyrrolidin 1 ylpropyl, pyrrolidin 2 ylpropyl, 1 Boc pyrrolidin 2 ylpropyl, pyrrolidin 1 ylmethyl, pyrrolidin 2 ylmethyl, 1 Boc pyrrolidin 2 ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin 1 ylmethylcarbonyl, 4 methylpiperazin 1 ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3 ethoxycarbonyl 2 methyl fur 5 yl, 4 methylpiperazin 1 yl, 4 methyl 1 piperidyl, 1 Boc 4 piperidyl, piperidin 4 yl, 1 methylpiperidin 4 yl, 1 methyl (1,2,3,6 tetrahydropyridyl), imidazolyl, morpholinyl, 4 trifluoromethyl 1 piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert butyl, sec butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1 di(trifluoromethyl) 1 hydroxymethyl, 1,1 di(trifluoromethyl) 1 (piperidinylethoxy)methyl, 1,1 di(trifluoromethyl) 1 (methoxyethoxyethoxy)methyl, 1 hydroxyethyl, 2 hydroxyethyl, trifluoromethoxy, 1 aminoethyl, 2 aminoethyl, 1 (N isopropylamino)ethyl, 2 (N isopropylamino)ethyl, dimethylaminoethoxy, 4 chlorophenoxy, phenyloxy, azetidin 3 ylmethoxy, 1 Boc azetidin 3~

~~ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy-and-ethoxy, and pharmaceutically acceptable derivatives thereof.~~

5. (Currently Amended) Compound of Claim ~~4~~ 3 wherein R^1 is selected from 4,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinol-7-yl, 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2-acetyl-4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2,3-dihydro-1H-indolyl, 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, 1-ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, and 1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable derivatives thereof.

6. (Original) Compound of Claim 5 wherein R^1 is 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable derivatives thereof.

7. (Original) Compound of Claim 5 wherein R^1 is 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl; and pharmaceutically acceptable derivatives thereof.

8. (Cancelled Herein) ~~Compound of Claim 2 wherein R^1 is selected from phenyl substituted with a substituent selected from optionally substituted 4-6-membered heterocyclyl C_1-C_4-alkyl, optionally substituted 4-6-membered heterocyclyl C_2-C_4-alkenyl, optionally substituted 4-6-membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6-membered heterocyclyl C_{1-4}-alkoxy, optionally substituted 4-6-membered heterocyclylsulfonyl, optionally substituted 4-6-membered heterocyclylamino, optionally substituted 4-6-membered heterocyclylcarbonyl, chloro, C_3-C_4-alkyl and optionally substituted 4-6-membered heterocyclyl C_{1-4}-alkylcarbonyl; and wherein R^a is H; and pharmaceutically acceptable derivatives thereof, provided R^1 is not~~

~~aryl or heteroaryl when R^1 is phenyl substituted with chloro or alkyl and when R^2 is H.~~

9. (Currently Amended) Compound of Claim ~~8~~ 2 wherein R^1 is selected from 4-chlorophenyl, 4-tert-butylphenyl, and 4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]phenyl; and pharmaceutically acceptable derivatives thereof.

10. (Original) Compound of Claim 2 wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl,

imidazolyl, and pyrazolyl;

and pharmaceutically acceptable derivatives thereof.

11. (Original) Compound of Claim 10 wherein R^2 is H; and pharmaceutically acceptable derivatives thereof.

12. (Cancelled Herein) ~~Compound of Claim 2 wherein R is $-(CH_2)-R^3$, and wherein R^3 is selected from phenyl substituted with one or more substituents independently selected from halo, amino, C_{1-3} alkoxy, hydroxyl, C_{1-3} alkyl and C_{1-4} haloalkyl; and pharmaceutically acceptable derivatives thereof.~~

13. (Cancelled Herein) ~~Compound of Claim 2 wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heterocyclyl; and pharmaceutically acceptable derivatives thereof.~~

14. (Cancelled Herein) ~~Compound of Claim 13 wherein R is selected from optionally substituted indazolyl, quinolinyl, [1,7]naphthyridinyl,~~

~~quinazolinyl and isoquinolinyl; and pharmaceutically acceptable derivatives thereof.~~

15. (Cancelled Herein) ~~Compound of Claim 14 wherein R is selected from [1,7]napthyridin-2-yl, quinazolin-6-yl and 7-isoquinolinyl; and pharmaceutically acceptable derivatives thereof.~~

16. (Cancelled Herein) ~~Compound of Claim 2 wherein R is $(CH_2)_{1-2}$-R^3; and wherein R^3 is selected from substituted or unsubstituted 5-6 membered nitrogen containing heteroaryl, and substituted or unsubstituted fused 9-, or 10-membered nitrogen containing heteroaryl; and pharmaceutically acceptable derivatives thereof.~~

17. (Currently Amended) Compound of Claim ~~16~~ 2 wherein R is selected from (3-pyridyl)-$(CH_2)_2$-, (4-pyridyl)-CH_2-, (4-pyrimidinyl)-CH_2-, (5-pyrimidinyl)-CH_2-, (6-pyrimidinyl)-CH_2-, (4-pyridazinyl)-CH_2- and (6-pyridazinyl)-CH_2-; wherein R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, methylamino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

18. (Cancelled Herein) ~~Compound of Claim 16 wherein R is selected from 5-indazolyl CH_2-, 4-quinolinyl CH_2-, (1H-pyrrolo[2,3-b]pyridin-3-yl)-CH_2-, 5-quinoxalinyl CH_2-, 5-isoquinolinyl CH_2- and 4-quinazolinyl CH_2-; and pharmaceutically acceptable derivatives thereof.~~

19. (Currently Amended) Compound of Claim 2 wherein R is selected from (4-pyridyl)-CH_2-, ~~(4-fluorphenyl)-CH_2-,~~ (2-methylamino-4-pyrimidinyl)-CH_2-, ~~(4-quinolinyl)-CH_2-, 5-quinoxalinyl-CH_2-,~~ (4-pyridazinyl)-CH_2-, ~~(1H-pyrrolo[2,3-b]pyridin-3-yl)-CH_2-~~, (2-methoxy-4-pyridyl)-CH_2-, (4-pyridazinyl)-CH_2-, and (2-amino-4-pyrimidinyl)-CH_2-~~, quinazolin-6-yl and 7-isoquinolinyl~~; and pharmaceutically acceptable derivatives thereof.

20. (Withdrawn-Currently Amended) Compound of Claim 2 wherein R ~~is -(CHCH$_3$)-R^3,~~ wherein R^3 is selected from unsubstituted or substituted 6-membered nitrogen-containing heteroaryl; and wherein substituted R^3 is substituted with one or more substituents independently selected from halo, amino, C_{1-3}-alkoxy, hydroxyl, C_{1-3}-alkyl and C_{1-2}-haloalkyl; and pharmaceutically acceptable derivatives thereof.

21. (Withdrawn) Compound of Claim 20 wherein R is selected from (4-pyridyl)-(CHCH$_3$)-, (4-pyrimidinyl)-(CHCH$_3$)-, (5-pyrimidinyl)-(CHCH$_3$)-, (6-pyrimidinyl)-(CHCH$_3$)-, (4-pyridazinyl)-(CHCH$_3$)- and (6-pyridazinyl)-(CHCH$_3$)-; wherein R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

22. (Withdrawn) Compound of Claim 21 wherein R is (2-methylamino-4-pyrimidinyl)-CHCH$_3$- or (2-amino-4-pyrimidinyl)-CHCH$_3$-; and pharmaceutically acceptable derivatives thereof.

23. (Original) Compound of Claim 2 wherein R^5 is selected from H, piperidinylethyl and methoxyethoxyethyl; wherein R^a is H; and wherein R^b and R^c are independently selected from H and trifluoromethyl; and pharmaceutically acceptable derivatives thereof.

24. (Original) Compound of Claim 2 wherein R is (4-pyridyl)-CH$_2$-; and pharmaceutically acceptable derivatives thereof.

25. (Cancelled Herein) ~~Compound of Claim 2 wherein R is (4-fluorophenyl)-CH$_2$-; and pharmaceutically acceptable derivatives thereof.~~

26. (Cancelled Herein) ~~Compound of Claim 2 wherein R is (4-quinolyl)-CH$_2$-; and pharmaceutically acceptable derivatives thereof.~~

27. (Cancelled Herein) ~~Compound of Claim 2 wherein R is (1H-pyrrolo[2,3-b]pyridin-3-yl)-CH_3-; and pharmaceutically acceptable derivatives thereof.~~

28. (Withdrawn) Compound of Claim 2 wherein R is (2-amino-pyrimidin-4-yl)-$CHCH_3$- or (2-methylaminopyrimidin-4-yl)-$CHCH_3$-; and pharmaceutically acceptable derivatives thereof.

29. (Original) Compound of Claim 2 wherein R^2 is H or fluoro; and pharmaceutically acceptable derivatives thereof.

30. (Currently Amended) Compound of Claim 2 and pharmaceutically acceptable salts thereof selected from

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

~~N-(4,4-dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-(quinazolin-6-ylamino)-benzamide;~~

N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide;

(*R*)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;

N-(1-Ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

~~N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(quinolin-4-ylmethyl)-amino]-benzamide;~~

~~N-(4-tert-Butyl-phenyl)-2-(isoquinolin-7-ylamino)-benzamide;~~

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;

N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

~~N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(quinolin-4-ylmethyl)-amino]-benzamide;~~

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(1-oxy-pyridin-4-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-3-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridazin-4-ylmethyl)-amino]-benzamide;

2-[1-(2-Amino-pyrimidin-4-yl)-ethylamino]-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;

~~2-(4-Fluoro-benzylamino)-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-benzamide;~~

~~N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(quinolin-4-ylmethyl)-amino]-benzamide;~~

~~N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(4-fluoro-benzylamino)-benzamide;~~

~~N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-fluoro-2-(4-fluoro-benzylamino)-benzamide;~~

~~N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-3-fluoro-2-(4-fluoro-benzylamino)-benzamide;~~ and

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-4-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide~~; and~~

~~N-(4,4-Dimethyl-2-oxo-1,2,3,4-tetrahydro-quinolin-7-yl)-2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-amino]-benzamide~~.

31. (Withdrawn) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

32. (Original) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

33. (Cancelled Herein) ~~Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-(quinazolin-6-ylamino)-benzamide.~~

34. (Original) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide.

35. (Withdrawn) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising (*R*)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide.

36. (Original) A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound of Claim 1.

37. (Withdrawn from Consideration) A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 1.

38. (Withdrawn from Consideration) The method of Claim 37 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

39. (Withdrawn from Consideration) A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound of Claim 1.

40. (Withdrawn from Consideration) A method of treating VEGF receptor-related disorders in a mammal, said method comprising administering an effective amount of a compound of Claim 1.

41. (Withdrawn from Consideration) A method of treating proliferation-related disorders in a mammal, said method comprising administering an effective amount of a compound of Claim 1.

42. (Withdrawn from Consideration) The method of Claim 41 wherein the disorder is inflammation or an inflammation-related disorder.

43. (Withdrawn from Consideration) A method of reducing blood flow in a tumor in a subject, said method comprising administering an effective amount of a compound of Claim 1.

44. (Withdrawn from Consideration) A method of reducing tumor size in a subject, said method comprising administering an effective amount of a compound of Claim 1.

45. (Withdrawn from Consideration) A method of treating diabetic retinopathy in a subject, said method comprising administering an effective amount of a compound of Claim 1.

Respectfully submitted,

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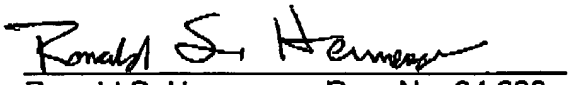

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